CLAIMS

We claim:

1. A compound having the structure:

$$R_1O$$
 R_2
 R_3
 R_4
 R_5
 R_4
 R_5
 R_5

wherein R₁-R₄ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety;

R₅ and R₆ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and wherein R₆ and R₇, taken together, may form a cyclic aliphatic, heteroaliphatic, aliphatic(aryl), heteroaliphatic(aryl), aliphatic(heteroaryl) or heteroaliphatic(heteroaryl) moiety, or an aryl or heteroaryl moiety;

wherein each of the foregoing aliphatic and heteroaliphatic moieties may be substituted or unsubstituted, cyclic or acyclic, saturated or unsaturated or linear or branched; and each of the foregoing aryl, heteroaryl, alkylaryl or alkylheteroaryl moieties may be substituted or unsubstituted; and

pharmaceutically acceptable derivatives thereof.

2. The compound of claim 1, wherein the compound has the structure (II):

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Attorney Docket No.: 2001180-0077 Client Reference No.: HU 2060-02 US NATL wherein R₁-R₄ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety;

R₅ and R₆ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and wherein R₆ and R₇, taken together, may form a cyclic aliphatic, heteroaliphatic, aliphatic(aryl), heteroaliphatic(aryl), aliphatic(heteroaryl) or heteroaliphatic(heteroaryl) moiety, or an aryl or heteroaryl moiety;

wherein each of the foregoing aliphatic and heteroaliphatic moieties may be substituted or unsubstituted, cyclic or acyclic, saturated or unsaturated or linear or branched; and each of the foregoing aryl, heteroaryl, alkylaryl or alkylheteroaryl moieties may be substituted or unsubstituted; and

pharmaceutically acceptable derivatives thereof.

- 3. The compound of claim 1, wherein R^1 is hydrogen or an alkyl, heteroalkyl, aryl or heteroaryl moiety substituted with Z, wherein Z is hydrogen, $-(CH_2)_qOR^Z$, $-(CH_2)_qSR^Z$, $-(CH_2)_qN(R^Z)_2$, $-(C=O)R^Z$, $-(C=O)N(R^Z)_2$, or an aliphatic, heteroaliphatic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, wherein q is 0-4, and wherein each occurrence of R^Z is independently hydrogen, a protecting group, a solid support unit, or an aliphatic, heteroaliphatic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety; wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -
- 4. The compound of claim 3, wherein R^1 is hydrogen, lower alkyl, a substituted or unsubstituted phenyl or –(lower alkyl)phenyl moiety, -(CH_2) $_nOR^z$, -[$(CH_2)_nO]_mR^z$, -(CH_2) $_n-Ar-(CH_2)_mOR^z$; wherein n and m are each independently integers from 1-6, Ar represents a substituted or unsubstituted aryl or heteroaryl moiety, and R^z is independently hydrogen, a protecting group, a solid support unit, or an aliphatic, heteroaliphatic, aryl, heteroaryl, -

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Attorney Docket No.: 2001180-0077 Client Reference No.: HU 2060-02 US NATL (aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety; wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or - (heteroalkyl)heteroaryl moieties may be substituted or unsubstituted.

5. The compound of claim 4, wherein R¹ is hydrogen, ethyl, or has one of the structures:

$$R^{2}O$$
 $R^{2}O$
 $R^{2}O$

wherein Rz is as defined in claim 4.

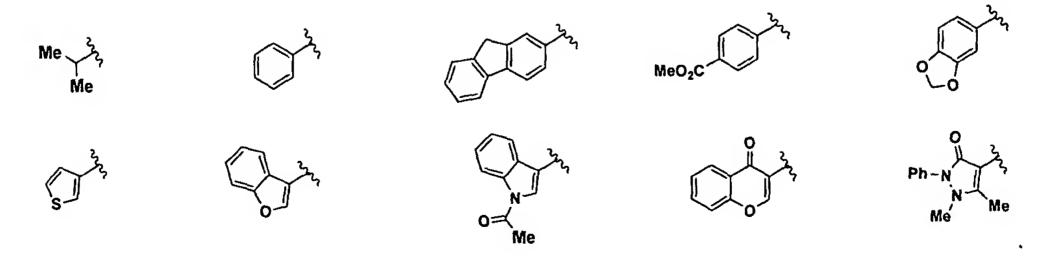
6. The compound of claim 1, wherein R^2 is hydrogen or an alkyl, heteroalkyl, aryl or heteroaryl moiety substituted with Z, wherein Z is hydrogen, $-(CH_2)_qOR^Z$, $-(CH_2)_qSR^Z$, $-(CH_2)_qN(R^Z)_2$, $-(C=O)R^Z$, $-(C=O)N(R^Z)_2$, or an aliphatic, heteroaliphatic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety, wherein q is 0-4, and wherein each occurrence of R^Z is independently hydrogen, a protecting group, a solid support unit, or an aliphatic, heteroaliphatic, aryl, heteroaryl, -(aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or -(heteroaliphatic)heteroaryl moiety; wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -

- 7. The compound of claim 6, wherein R² is hydrogen, lower alkyl, a substituted or unsubstituted phenyl or –(lower alkyl)phenyl moiety, -(CH₂)_nOR², -[(CH₂)_nO]_mR², -(CH₂)_n-Ar-(CH₂)_mOR²; wherein n and m are each independently integers from 1-6, Ar represents a substituted or unsubstituted aryl or heteroaryl moiety, and R² is independently hydrogen, a protecting group, a solid support unit, or an aliphatic, heteroaliphatic, aryl, heteroaryl, (aliphatic)aryl, -(aliphatic)heteroaryl, -(heteroaliphatic)aryl, or –(heteroaliphatic)heteroaryl moiety; wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or (heteroalkyl)heteroaryl moieties may be substituted or unsubstituted.
- 8. The compound of claim 6, wherein R² is hydrogen or has one of the structures:

$$R^{z}O$$
 S^{z}
 $R^{z}O$
 O
 O
 S^{z}
 $R^{z}O$
 O
 S^{z}
 S^{z}

wherein Rz is as defined in claim 6.

- 9. The compound of claim 1, wherein R³ is an alkyl, heteroalkyl, aryl, heteroaryl, (alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moiety; wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moieties may be substituted or unsubstituted.
- 10. The compound of claim 9, wherein R³ has one of the structures:



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- 11. The compound of claim 1, wherein R⁴ is hydrogen or an alkyl, heteroalkyl, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moiety; wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moieties may be substituted or unsubstituted.
- 12. The compound of claim 11, wherein R⁴ is hydrogen alkyl or heteroalkyl.
- 13. The compound of claim 1, wherein R⁵ and R⁶ are each independently hydrogen or an alkyl, heteroalkyl, aryl, heteroaryl, -(alkyl)aryl, -(alkyl)heteroaryl, -(heteroalkyl)aryl, or (heteroalkyl)heteroaryl moiety; or wherein R⁵ and R⁶, taken together, form a substituted or unsubstituted, saturated or unsaturated cyclic moiety comprising 5-12 carbon atoms, 0-5 oxygen atoms, 0-5 sulfur atoms and 1-5 nitrogen atoms; and wherein each of the foregoing alkyl or heteroalkyl moieties may be substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated; and wherein each of the foregoing aryl, heteroaryl, -(alkyl)aryl, (alkyl)heteroaryl, -(heteroalkyl)aryl, or -(heteroalkyl)heteroaryl moieties may be substituted or unsubstituted.
- 14. The compound of claim 1, wherein $-NR^5R^6$ is one of the following the structures:

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15. The compound of claim 1 having the structure:

16. The compound of claim 1 having the structure:

17. The compound of claim 1 having the structure:

18. The compound of claim 1 having the structure:

19. The compound of claim 1 having the structure:

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20. The compound of claim 1 having the structure:

21. The compound of claim 1 having the structure:

22. The compound of claim 1 having the structure:

23. A collection of compounds comprising two or more of the compounds of claim 1 or 2.

- 24. The collection of claim 23, wherein the collection is provided in array format.
- 25. The collection of claim 23, wherein the collection is provided in array format on a glass slide.
- 26. The collection of claim 23, wherein the collection comprises at least 100 compounds.
- 27. The collection of claim 23, wherein the collection comprises at least 1,000 compounds.
- 28. The collection of claim 23, wherein the collection comprises at least 2,000 compounds.
- 29. The collection of claim 23, wherein the collection comprises at least 10, 000 compounds.
- 30. A pharmaceutical composition comprising: a compound of any one of claims 1, 2, 5, 8, 10, 14, and 15-22; and a pharmaceutically acceptable carrier.
- 31. A method for the synthesis of the core structure (III) comprising: providing a vinyl ether having the structure:

providing an unsaturated ketoester having the structure:

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subjecting the vinyl ether and the unsaturated ketoester to suitable conditions to generate a scaffold having the core structure:

wherein R¹ and R² are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety; wherein one of R¹ or R² is attached to a solid support;

R³ and R⁴ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety;

R₅ is OR_A, NR_AR_B or SR_A; wherein R_A is hydrogen or is an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety;

wherein each of the foregoing aliphatic and heteroaliphatic moieties may be substituted or unsubstituted, cyclic or acyclic, saturated or unsaturated or linear or branched; and each of the foregoing aryl, heteroaryl, alkylaryl or alkylheteroaryl moieties may be substituted or unsubstituted.

- 32. The method of claim 31, wherein R^1 or R^2 is attached to a solid support via a silyl linker.
- 33. The method of claim 31 further comprising functionalizing the core structure (III) at one or more sites to generate compounds having the structures (Ia):

$$R^{1}O$$
 R^{2}
 R^{3}
 R^{4}
 R^{5}
 R^{5}
 R^{6}
 $R^{1}O$
 R^{6}
 $R^{1}O$
 R^{6}
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 R^{2}
 R^{3}

wherein R¹ and R² are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety; wherein one of R¹ or R² is attached to a solid support;

R³ and R⁴ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl or alkylheteroaryl moiety;

R⁵ and R⁶ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, or alkylheteroaryl moiety, and wherein R⁵ and R⁶, taken together, may form a cyclic aliphatic, heteroaliphatic, aliphatic(aryl), heteroaliphatic(aryl), aliphatic(heteroaryl) or heteroaliphatic(heteroaryl) moiety, or an aryl or heteroaryl moiety;

wherein each of the foregoing aliphatic and heteroaliphatic moieties may be substituted or unsubstituted, cyclic or acyclic, saturated or unsaturated or linear or branched; and each of the foregoing aryl, heteroaryl, alkylaryl or alkylheteroaryl moieties may be substituted or unsubstituted.

34. The method of claim 33 further comprising cleaving the structure (Ia) from the solid support to which it is attached to give a compound having the structure (I):

$$R^{1}O$$
 R^{2}
 R^{3}
 R^{4}
 R^{5}
 R^{5}

wherein $R^1 - R^4$ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl,

heteroaryl, alkylaryl or alkylheteroaryl moiety;

R⁵ and R⁶ are each independently hydrogen or an aliphatic, heteroaliphatic, aryl,

heteroaryl, alkylaryl, or alkylheteroaryl moiety, and wherein R⁵ and R⁶, taken together, may form

a cyclic aliphatic, heteroaliphatic, aliphatic(aryl), heteroaliphatic(aryl), aliphatic(heteroaryl) or

heteroaliphatic(heteroaryl) moiety, or an aryl or heteroaryl moiety;

wherein each of the foregoing aliphatic and heteroaliphatic moieties may be substituted

or unsubstituted, cyclic or acyclic, saturated or unsaturated or linear or branched; and each of the

foregoing aryl, heteroaryl, alkylaryl or alkylheteroaryl moieties may be substituted or

unsubstituted.

35. A method for inhibiting a kinesin activity comprising contacting a cell with a compound

of any one of claims 1, 2, 5, 8, 10, 14, and 15-22.

36. The method of claim 35, wherein the kinesin is Eg5.

37. A method for treating a proliferative disorder comprising:

administering to a subject in need thereof a therapeutically effective amount of a

compound of any one of claims 1, 2, 5, 8, 10, 14, and 15-22.

38. The method of claim 37, wherein the proliferative disorder is cancer.

39. The method of claim 37, further comprising administering an additional therapeutic

agent.

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